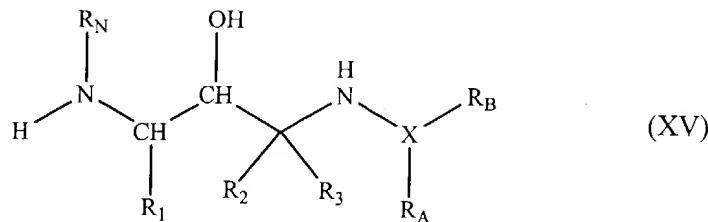


WE CLAIM:

1. A substituted amine of formula (XV)

5

where R₁ is:

(I) C₁-C₆ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, C₁-C₇ alkyl (optionally substituted with C₁-C₃ alkyl and C₁-C₃ alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl, and -OC=O NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(II) -CH₂-S(O)₀₋₂-(C₁-C₆ alkyl),

(III) -CH₂-CH₂-S(O)₀₋₂-(C₁-C₆ alkyl),

15 (IV) C₂-C₆ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(V) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

20 (VI) -(CH₂)_{n1}-(R_{1-aryl}) where n₁ is zero or one and where R_{1-aryl} is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three or four of the following substituents on the aryl ring:

(A) C₁-C₆ alkyl optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, and C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

25 (B) C₂-C₆ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

30 (C) C₆ alkyl,

(C) C_2 - C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

5 (D) -F, Cl, -Br or -I,
(F) -C₁-C₆ alkoxy optionally substituted with one, two or three of -F,
(G) -NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are as defined below,
(H) -OH,
10 (I) -C≡N,
(J) C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,
(K) -CO-(C₁-C₄ alkyl),
15 (L) -SO₂-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,
(M) -CO-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, or
(N) -SO₂-(C₁-C₄ alkyl),
(VII) -(CH₂)_{n1}-(R₁-heteroaryl) where n₁ is as defined above and where R₁-heteroaryl is selected from the group consisting of:
20 pyridinyl,
pyrimidinyl,
quinolinyl,
benzothienyl,
indolyl,
indolinyl,
25 pyridazinyl,
pyrazinyl,
isoquinolyl,
quinazolinyl,
30 quinoxalinyl,
phthalazinyl,
imidazolyl,
isoxazolyl,

pyrazolyl,
oxazolyl,
thiazolyl,
indolizinyl,
5 indazolyl,
benzothiazolyl,
benzimidazolyl,
benzofuranyl,
furanyl,
10 thienyl,
pyrrolyl,
oxadiazolyl,
thiadiazolyl,
triazolyl,
15 tetrazolyl,
oxazolopyridinyl,
imidazopyridinyl,
isothiazolyl,
naphthyridinyl,
20 cinnolinyl,
carbazolyl,
beta-carbolinyl,
isochromanyl,
chromanyl,
25 tetrahydroisoquinolinyl,
isoindolinyl,
isobenzotetrahydrofuranyl,
isobenzotetrahydrothienyl,
isobenzothienyl,
30 benzoxazolyl,
pyridopyridinyl,
benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,

benzodioxolyl,
triazinyl,
phenoxazinyl,
phenothiazinyl,
5 pteridinyl,
benzothiazolyl,
imidazopyridinyl,
imidazothiazolyl,
dihydrobenzisoxazinyl,
10 benzisoxazinyl,
benzoxazinyl,
dihydrobenzisothiazinyl,
benzopyranyl,
benzothiopyranyl,
15 coumarinyl,
isocoumarinyl,
chromonyl,
chromanonyl,
pyridinyl-N-oxide,
20 tetrahydroquinolinyl
dihydroquinolinyl
dihydroquinolinonyl
dihydroisoquinolinonyl
dihydrocoumarinyl
25 dihydroisocoumarinyl
isoindolinonyl
benzodioxanyl
benzoxazolinonyl
pyrrolyl N-oxide,
30 pyrimidinyl N-oxide,
pyridazinyl N-oxide,
pyrazinyl N-oxide,
quinolinyl N-oxide,
indolyl N-oxide,

indolinyl N-oxide,
isoquinolyl N-oxide,
quinazolinyl N-oxide,
quinoxaliny N-oxide,
5 phthalazinyl N-oxide,
imidazolyl N-oxide,
isoxazolyl N-oxide,
oxazolyl N-oxide,
thiazolyl N-oxide,
10 indolizinyl N-oxide,
indazolyl N-oxide,
benzothiazolyl N-oxide,
benzimidazolyl N-oxide,
pyrrolyl N-oxide,
15 oxadiazolyl N-oxide,
thiadiazolyl N-oxide,
triazolyl N-oxide,
tetrazolyl N-oxide,
benzothiopyranyl S-oxide, and
20 benzothiopyranyl S,S-dioxide,

where the $R_{1\text{-heteraryl}}$ group is bonded to $-(CH_2)_{n1}-$ by any ring atom of the parent $R_{1\text{-heteraryl}}$ group substituted by hydrogen such that the new bond to the $R_{1\text{-heteraryl}}$ group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three or four of:

25 (1) $C_1\text{-}C_6$ alkyl optionally substituted with one, two or three substituents selected from the group consisting of $C_1\text{-}C_3$ alkyl, -F, -Cl, -Br, -I, -OH, -SH, - $C\equiv N$, - CF_3 , $C_1\text{-}C_3$ alkoxy, and - $NR_{1\text{-a}}R_{1\text{-b}}$ where $R_{1\text{-a}}$ and $R_{1\text{-b}}$ are as defined above,

(2) $C_2\text{-}C_6$ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, - $C\equiv N$, - CF_3 , $C_1\text{-}C_3$ alkoxy, and - $NR_{1\text{-a}}R_{1\text{-b}}$ where $R_{1\text{-a}}$ and $R_{1\text{-b}}$ are -H or $C_1\text{-}C_6$ alkyl,

30 (3) $C_2\text{-}C_6$ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -

Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(4) -F, Cl, -Br or -I,

(6) -C₁-C₆ alkoxy optionally substituted with one, two, or

5 three of -F,

(7) -NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are as defined below,

(8) -OH,

(9) -C≡N,

(10) C₃-C₇ cycloalkyl, optionally substituted with one, two

10 or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C₁-C₆ alkyl,

(11) -CO-(C₁-C₄ alkyl),

(12) -SO₂-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined

above,

15 (13) -CO-NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, or

(14) -SO₂-(C₁-C₄ alkyl), with the proviso that when n₁ is zero R_{1-heteroaryl} is not bonded to the carbon chain by nitrogen; or

(VIII) -(CH₂)_{n1}-(R_{1-heterocycle}) where n₁ is as defined above and R_{1-heterocycle}

20 is selected from the group consisting of:

morpholinyl,

thiomorpholinyl,

thiomorpholinyl S-oxide,

thiomorpholinyl S,S-dioxide,

piperazinyl,

homopiperazinyl,

pyrrolidinyl,

pyrrolinyl,

tetrahydropyranyl,

25 piperidinyl,

tetrahydrofuranyl,

tetrahydrothienyl,

homopiperidinyl,

30

homomorpholinyl,
 homothiomorpholinyl,
 homothiomorpholinyl S,S-dioxide,
 oxazolidinonyl,
 5 dihydropyrazolyl,
 dihydropyrrolyl,
 dihydropyrazinyl,
 dihydropyridinyl,
 dihydropyrimidinyl,
 10 dihydrofuryl,
 dihydropyranyl,
 tetrahydrothienyl S-oxide,
 tetrahydrothienyl S,S-dioxide, and
 homothiomorpholinyl S-oxide,
 15 where the $R_{1\text{-heterocycle}}$ group is bonded by any atom of the parent R_1 .
 heterocycle group substituted by hydrogen such that the new bond to the $R_{1\text{-heterocycle}}$ group
 replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with
 one, two, three or four:
 (1) $C_1\text{-}C_6$ alkyl optionally substituted with one, two or three
 20 substituents selected from the group consisting of $C_1\text{-}C_3$ alkyl, -F, -Cl, -Br, -I, -OH, -SH,
 -C≡N, -CF₃, $C_1\text{-}C_3$ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,
 (2) $C_2\text{-}C_6$ alkenyl with one or two double bonds, optionally
 substituted with one, two or three substituents selected from the group consisting of -F, -
 Cl, -OH, -SH, -C≡N, -CF₃, $C_1\text{-}C_3$ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or $C_1\text{-}$
 25 C_6 alkyl,
 (3) $C_2\text{-}C_6$ alkynyl with one or two triple bonds, optionally
 substituted with one, two or three substituents selected from the group consisting of -F, -
 Cl, -OH, -SH, -C≡N, -CF₃, $C_1\text{-}C_3$ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or $C_1\text{-}$
 C_6 alkyl,
 30 (4) -F, Cl, -Br or -I,
 (5) $C_1\text{-}C_6$ alkoxy,
 (6) - $C_1\text{-}C_6$ alkoxy optionally substituted with one, two, or
 three -F,

(7) $-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$ where $\text{R}_{\text{N}-2}$ and $\text{R}_{\text{N}-3}$ are as defined below,
(8) $-\text{OH}$,
(9) $-\text{C}\equiv\text{N}$,
(10) $\text{C}_3\text{-C}_7$ cycloalkyl, optionally substituted with one, two
5 or three substituents selected from the group consisting of $-\text{F}$, $-\text{Cl}$, $-\text{OH}$, $-\text{SH}$, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$,
 $\text{C}_1\text{-C}_3$ alkoxy, and $-\text{NR}_{1\text{-a}}\text{R}_{1\text{-b}}$ where $\text{R}_{1\text{-a}}$ and $\text{R}_{1\text{-b}}$ are $-\text{H}$ or $\text{C}_1\text{-C}_6$ alkyl,
(11) $-\text{CO-(C}_1\text{-C}_4\text{ alkyl)}$,
(12) $-\text{SO}_2\text{-NR}_{1\text{-a}}\text{R}_{1\text{-b}}$ where $\text{R}_{1\text{-a}}$ and $\text{R}_{1\text{-b}}$ are as defined
above,
10 (13) $-\text{CO-NR}_{1\text{-a}}\text{R}_{1\text{-b}}$ where $\text{R}_{1\text{-a}}$ and $\text{R}_{1\text{-b}}$ are as defined
above,
(14) $-\text{SO}_2\text{-}(\text{C}_1\text{-C}_4\text{ alkyl})$, or
(15) $=\text{O}$, with the proviso that when n_1 is zero $\text{R}_{1\text{-heterocycle}}$ is
not bonded to the carbon chain by nitrogen;
15 where R_2 is:
(I) $-\text{H}$,
(II) $\text{C}_1\text{-C}_6$ alkyl, optionally substituted with one, two or three substituents
selected from the group consisting of $\text{C}_1\text{-C}_3$ alkyl, $-\text{F}$, $-\text{Cl}$, $-\text{Br}$, $-\text{I}$, $-\text{OH}$,
20 $-\text{SH}$, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, $\text{C}_1\text{-C}_3$ alkoxy, and $-\text{NR}_{1\text{-a}}\text{R}_{1\text{-b}}$ where $\text{R}_{1\text{-a}}$ and $\text{R}_{1\text{-b}}$ are as defined above,
(III) $-(\text{CH}_2)_{0\text{-}4}\text{-R}_{2\text{-1}}$ where $\text{R}_{2\text{-1}}$ is $\text{R}_{1\text{-aryl}}$ or $\text{R}_{1\text{-heteroaryl}}$ where $\text{R}_{1\text{-aryl}}$ and $\text{R}_{1\text{-heteroaryl}}$
25 are as defined above;
(IV) $\text{C}_2\text{-C}_6$ alkenyl with one or two double bonds, optionally substituted
with one, two or three substituents selected from the group consisting of $-\text{F}$, $-\text{Cl}$, $-\text{OH}$, $-\text{SH}$,
 $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, $\text{C}_1\text{-C}_3$ alkoxy, and $-\text{NR}_{1\text{-a}}\text{R}_{1\text{-b}}$ where $\text{R}_{1\text{-a}}$ and $\text{R}_{1\text{-b}}$ are $-\text{H}$ or $\text{C}_1\text{-C}_6$ alkyl,
(V) $\text{C}_2\text{-C}_6$ alkynyl with one or two triple bonds, optionally substituted with
30 one, two or three substituents selected from the group consisting of $-\text{F}$, $-\text{Cl}$, $-\text{OH}$, $-\text{SH}$,
 $-\text{C}\equiv\text{N}$, $-\text{CF}_3$, $\text{C}_1\text{-C}_3$ alkoxy, and $-\text{NR}_{1\text{-a}}\text{R}_{1\text{-b}}$ where $\text{R}_{1\text{-a}}$ and $\text{R}_{1\text{-b}}$ are $-\text{H}$ or $\text{C}_1\text{-C}_6$ alkyl, or
(VI) $-(\text{CH}_2)_{0\text{-}4}\text{-C}_3\text{-C}_7$ cycloalkyl, optionally substituted with one, two or
three substituents selected from the group consisting of $-\text{F}$, $-\text{Cl}$, $-\text{OH}$, $-\text{SH}$, $-\text{C}\equiv\text{N}$, $-\text{CF}_3$,
35 $\text{C}_1\text{-C}_3$ alkoxy, and $-\text{NR}_{1\text{-a}}\text{R}_{1\text{-b}}$ where $\text{R}_{1\text{-a}}$ and $\text{R}_{1\text{-b}}$ are $-\text{H}$ or $\text{C}_1\text{-C}_6$ alkyl;

where R_3 is:

(I)-H,

(II) C_1 - C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1 - C_3 alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C≡N, -CF₃, C_1 - C_3 alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

5 (III) -(CH₂)₀₋₄-R₂₋₁ where R₂₋₁ is R_{1-aryl} or R_{1-heteroaryl} where R_{1-aryl} and R_{1-heteroaryl} are as defined above

(IV) C_2 - C_6 alkenyl with one or two double bonds,

(V) C_2 - C_6 alkynyl with one or two triple bonds; or

10 (VI) -(CH₂)₀₋₄-C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF₃, C_1 - C_3 alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are -H or C_1 - C_6 alkyl,

and where R₂ and R₃ are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of 15 -O-, -S-, -SO₂-, and -NR_{N-2-}, where R_{N-2} is selected from the group consisting of:

(a) -H,

20 (b) -C₁-C₆ alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

(ii) -NH₂,

25 (c) -C₁-C₆ alkyl optionally substituted with one, two, or three -F, -Cl, -Br, or -I,

(d) -C₃-C₇ cycloalkyl,

(e) -(C₁-C₂ alkyl)-(C₃-C₇ cycloalkyl),

(f) -(C₁-C₆ alkyl)-O-(C₁-C₃ alkyl),

(g) -C₂-C₆ alkenyl with one or two double bonds,

(h) -C₂-C₆ alkynyl with one or two triple bonds,

30 (i) -C₁-C₆ alkyl chain with one double bond and one triple bond,

(j) -R_{1-aryl} where R_{1-aryl} is as defined above, and

(k) -R_{1-heteroaryl} where R_{1-heteroaryl} is as defined above;

where R_N is:

(I) $R_{N-1}-X_N$ where X_N is selected from the group consisting of:

(A) $-CO-$,

(B) $-SO_2-$,

(C) $-(CR'R'')_{1-6}$ where R' and R'' are the same or different and are

5 $-H$ and C_1-C_4 alkyl,

(D) $-CO-(CR'R'')_{1-6}-X_{N-1}$ where X_{N-1} is selected from the group

consisting of $-O-$, $-S-$ and $-NR'-$ and where R' and R'' are as defined above, and

(E) a single bond;

where R_{N-1} is selected from the group consisting of:

10 (A) R_{N-aryl} where R_{N-aryl} is phenyl, 1-naphthyl, 2-naphthyl,

trinalinyl, indanyl, 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, or dihydronaphthyl

optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1) C_1-C_6 alkyl, optionally substituted with one, two or

15 three substituents selected from the group consisting of C_1-C_3 alkyl, $-F$, $-Cl$, $-Br$, $-I$, $-OH$, $-SH$, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

(2) $-OH$,

(3) $-NO_2$,

20 (4) $-F$, $-Cl$, $-Br$, $-I$,

(5) $-CO-OH$,

(6) $-C\equiv N$,

(7) $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of:

25 (a) $-H$,

(b) $-C_1-C_6$ alkyl optionally substituted with one

substituent selected from the group consisting of:

(i) $-OH$, and

(ii) $-NH_2$,

30 (c) $-C_1-C_6$ alkyl optionally substituted with one,

two, or three $-F$, $-Cl$, $-Br$, or $-I$,

(d) $-C_3-C_7$ cycloalkyl,

(e) $-(C_1-C_2$ alkyl $)-(C_3-C_7$ cycloalkyl),

(f) -(C₁-C₆ alkyl)-O-(C₁-C₃ alkyl),
(g) -C₂-C₆ alkenyl with one or two double bonds,
(h) -C₂-C₆ alkynyl with one or two triple bonds,
(i) -C₁-C₆ alkyl chain with one double bond and one

5 triple bond,
(j) -R₁-aryl where R₁-aryl is as defined above, and
(k) -R₁-heteroaryl where R₁-heteroaryl is as defined above,
(8) -(CH₂)₀₋₄-CO-(C₁-C₁₂ alkyl),
(9) -(CH₂)₀₋₄-CO-(C₂-C₁₂ alkenyl with one, two or three

10 double bonds),
(10) -(CH₂)₀₋₄-CO-(C₂-C₁₂ alkynyl with one, two or three
triple bonds),
(11) -(CH₂)₀₋₄-CO-(C₃-C₇ cycloalkyl),
(12) -(CH₂)₀₋₄-CO-R₁-aryl where R₁-aryl is as defined above,
15 (13) -(CH₂)₀₋₄-CO-R₁-heteroaryl where R₁-heteroaryl is as defined
above,
(14) -(CH₂)₀₋₄-CO-R₁-heterocycle where R₁-heterocycle is as
defined above,
(15) -(CH₂)₀₋₄-CO-R_{N-4} where R_{N-4} is selected from the
20 group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl,
homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide,
homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is
optionally substituted with one, two, three, or four of C₁-C₆ alkyl,
(16) -(CH₂)₀₋₄-CO-O-R_{N-5} where R_{N-5} is selected from the
25 group consisting of:
(a) C₁-C₆ alkyl,
(b) -(CH₂)₀₋₂-(R₁-aryl) where R₁-aryl is as defined
above,
(c) C₂-C₆ alkenyl containing one or two double
30 bonds,
(d) C₂-C₆ alkynyl containing one or two triple
bonds,
(e) C₃-C₇ cycloalkyl, and

(f) $-(CH_2)_{0-2}-(R_{1-heteroaryl})$ where $R_{1-heteroaryl}$ is as defined above,

(17) $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,

5 (18) $-(CH_2)_{0-4}-SO-(C_1-C_8$ alkyl),

(19) $-(CH_2)_{0-4}-SO_2-(C_1-C_{12}$ alkyl),

(20) $-(CH_2)_{0-4}-SO_2-(C_3-C_7$ cycloalkyl),

(21) $-(CH_2)_{0-4}-N(H$ or $R_{N-5})-CO-O-R_{N-5}$ where R_{N-5} can be the same or different and is as defined above,

10 (22) $-(CH_2)_{0-4}-N(H$ or $R_{N-5})-CO-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

(23) $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

15 (24) $-(CH_2)_{0-4}-N(-H$ or $R_{N-5})-CO-R_{N-2}$ where R_{N-5} and R_{N-2} can be the same or different and are as defined above,

(25) $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,

(26) $-(CH_2)_{0-4}-R_{N-4}$ where R_{N-4} is as defined above,

(27) $-(CH_2)_{0-4}-O-CO-(C_1-C_6$ alkyl),

20 (28) $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$ where $R_{N-aryl-1}$ is $-H$ or C_1-C_4 alkyl,

(29) $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$ where R_{N-5} is as defined above,

(30) $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$ where R_{N-5} is as defined

25 above,

(31) $-(CH_2)_{0-4}-O-(R_{N-5})_2$ where R_{N-5} is as defined above,

(32) $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$ where R_{N-5} is as defined above,

(33) $-(CH_2)_{0-4}-S-(R_{N-5})_2$ where R_{N-5} is as defined above,

30 (34) $-(CH_2)_{0-4}-O-(C_1-C_6$ alkyl optionally substituted with one, two, three, four, or five of $-F$),

(35) C_3-C_7 cycloalkyl,

(36) C₂-C₆ alkenyl with one or two double bonds optionally substituted with C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, or -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(37) C_2-C_6 alkynyl with one or two triple bonds optionally
 5 substituted with C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C_1-C_3 alkoxy, or -
 NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(38) $-(CH_2)_{0.4}-N(H \text{ or } R_{N-5})-SO_2-R_{N-2}$ where R_{N-5} and R_{N-2} can be the same or different and are as described above, or

(39) $-(\text{CH}_2)_{0-4-} \text{C}_3\text{-C}_7$ cycloalkyl,
10 (B) $-\text{R}_{\text{N-heteroaryl}}$ where $\text{R}_{\text{N-heteroaryl}}$ is selected from the group
consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

15 benzothienyl,

indolyl,

indoliny

pryidaziny

pyrazinyl]

20 isoindolyl,

isoquinolyl

quinazolin-

quinoxalin

phthalaziny

25 imidazolyl

isoxazolyl

pyrazolyl,

oxazolyl,

thiazolyl,

30 indoliziny

indazolyl,

benzothiazole

benzimidazolyl]

benzofuranyl,
furanyl,
thienyl,
pyrrolyl,
5 oxadiazolyl,
thiadiazolyl,
triazolyl,
tetrazolyl,
oxazolopyridinyl,
10 imidazopyridinyl,
isothiazolyl,
naphthyridinyl,
cinnolinyl,
carbazolyl,
15 beta-carbolinyl,
isochromanyl,
chromanyl,
tetrahydroisoquinolinyl,
isoindolinyl,
20 isobenzotetrahydrofuranyl,
isobenzotetrahydrothienyl,
isobenzothienyl,
benzoxazolyl,
pyridopyridinyl,
25 benzotetrahydrofuranyl,
benzotetrahydrothienyl,
purinyl,
benzodioxolyl,
triazinyl,
30 phenoxazinyl,
phenothiazinyl,
pteridinyl,
benzothiazolyl,
imidazopyridinyl,

imidazothiazolyl,
dihydrobenzisoxazinyl,
benzisoxazinyl,
benzoxazinyl,
5 dihydrobenzisothiazinyl,
benzopyranyl,
benzothiopyranyl,
coumarinyl,
isocoumarinyl,
10 chromonyl,
chromanonyl,
pyridinyl-N-oxide,
tetrahydroquinolinyl,
dihydroquinolinyl,
15 dihydroquinolinonyl,
dihydroisoquinolinonyl,
dihydrocoumarinyl,
dihydroisocoumarinyl,
isoindolinonyl,
20 benzodioxanyl,
benzoxazolinonyl,
pyrrolyl N-oxide,
pyrimidinyl N-oxide,
pyridazinyl N-oxide,
25 pyrazinyl N-oxide,
quinolinyl N-oxide,
indolyl N-oxide,
indolinyl N-oxide,
isoquinolyl N-oxide,
30 quinazolinyl N-oxide,
quinoxalinyl N-oxide,
phthalazinyl N-oxide,
imidazolyl N-oxide,
isoxazolyl N-oxide,

oxazolyl N-oxide,
thiazolyl N-oxide,
indolizinyl N-oxide,
indazolyl N-oxide,
benzothiazolyl N-oxide,
benzimidazolyl N-oxide,
pyrrolyl N-oxide,
oxadiazolyl N-oxide,
thiadiazolyl N-oxide,
triazolyl N-oxide,
tetrazolyl N-oxide,
benzothiopyranyl S-oxide, and
benzothiopyranyl S,S-dioxide

where the $R_{N\text{-heteraryl}}$ group is bonded by any atom of the parent $R_{N\text{-heteraryl}}$ group substituted by hydrogen such that the new bond to the $R_{N\text{-heteraryl}}$ group replaces the hydrogen atom and its bond, where heteraryl is optionally substituted with one, two, three, or four of:

- (1) $C_1\text{-}C_6$ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of $C_1\text{-}C_3$ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, $C_1\text{-}C_3$ alkoxy, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,
- (2) -OH,
- (3) -NO₂,
- (4) -F, -Cl, -Br, or -I,
- (5) -CO-OH,
- (6) -C≡N,
- (7) $-(CH_2)_{0\text{-}4}\text{-}CO\text{-}NR_{N\text{-}2}R_{N\text{-}3}$ where R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of:
- (a) -H,
- (b) $C_1\text{-}C_6$ alkyl optionally substituted with one substituent selected from the group consisting of:
- (i) -OH, and
- (ii) -NH₂,

(c) -C₁-C₆ alkyl optionally substituted with one, two, or three -F, -Cl, -Br, -I,

(d) -C₃-C₇ cycloalkyl,

(e) -(C₁-C₂ alkyl)-(C₃-C₇ cycloalkyl),

(f) -(C₁-C₆ alkyl)-O-(C₁-C₃ alkyl),

(g) -C₂-C₆ alkenyl with one or two double bonds,

(h) -C₂-C₆ alkynyl with one or two triple bonds,

(i) -C₁-C₆ alkyl chain with one double bond and one triple bond,

(j) -R₁-aryl where R₁-aryl is as defined above,

(k) -R₁-heteroaryl where R₁-heteroaryl is as defined above,

(8) -(CH₂)₀₋₄-CO-(C₁-C₁₂ alkyl),

(9) -(CH₂)₀₋₄-CO-(C₂-C₁₂ alkenyl with one, two or three double bonds),

(10) -(CH₂)₀₋₄-CO-(C₂-C₁₂ alkynyl with one, two or three triple bonds),

(11) -(CH₂)₀₋₄-CO-(C₃-C₇ cycloalkyl),

(12) -(CH₂)₀₋₄-CO-R₁-aryl where R₁-aryl is as defined above,

(13) -(CH₂)₀₋₄-CO-R₁-heteroaryl where R₁-heteroaryl is as defined above,

(14) -(CH₂)₀₋₄-CO-R₁-heterocycle where R₁-heterocycle is as defined above,

(15) -(CH₂)₀₋₄-CO-R_{N-4} where R_{N-4} is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of C₁-C₆ alkyl,

(16) -(CH₂)₀₋₄-CO-O-R_{N-5} where R_{N-5} is selected from the group consisting of:

(a) C₁-C₆ alkyl,

(b) -(CH₂)₀₋₂-(R₁-aryl) where R₁-aryl is as defined above,

(c) C₂-C₆ alkenyl containing one or two double bonds,

(d) C_2 - C_6 alkynyl containing one or two triple bonds,

(e) C_3 - C_7 cycloalkyl, and

(f) $-(CH_2)_{0-2}-(R_{1-heteroaryl})$ where $R_{1-heteroaryl}$ is as defined above,

(17) $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined above,

(18) $-(CH_2)_{0-4}-SO-(C_1-C_8$ alkyl),

(19) $-(CH_2)_{0-4}-SO_2-(C_1-C_{12}$ alkyl),

(20) $-(CH_2)_{0-4}-SO_2-(C_3-C_7$ cycloalkyl),

(21) $-(CH_2)_{0-4}-N(H$ or $R_{N-5})-CO-O-R_{N-5}$ where R_{N-5} can be the same or different and is as defined above,

(22) $-(CH_2)_{0-4}-N(H$ or $R_{N-5})-CO-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

(23) $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$, where R_{N-5} can be the same or different and is as defined above,

(24) $-(CH_2)_{0-4}-N(-H$ or $R_{N-5})-CO-R_{N-2}$ where R_{N-5} and R_{N-2} can be the same or different and are as defined above,

(25) $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} can be the same or different and are as defined above,

(26) $-(CH_2)_{0-4}-R_{N-4}$ where R_{N-4} is as defined above,

(27) $-(CH_2)_{0-4}-O-CO-(C_1-C_6$ alkyl),

(28) $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$ where $R_{N-aryl-1}$ is $-H$ or C_1-C_4 alkyl,

(29) $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$ where R_{N-5} is as defined above,

(30) $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$ where R_{N-5} is as defined above,

(31) $-(CH_2)_{0-4}-O-(R_{N-5})_2$ where R_{N-5} is as defined above,

(32) $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$ where R_{N-5} is as defined above,

(33) $-(CH_2)_{0-4}-S-(R_{N-5})_2$ where R_{N-5} is as defined above,

(34) $-(CH_2)_{0-4}-O-(C_1-C_6$ alkyl optionally substituted with one, two, three, four, or five of $-F$),

(35) C₃-C₇ cycloalkyl,

(36) C₂-C₆ alkenyl with one or two double bonds optionally substituted with C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, or -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

5 (37) C₂-C₆ alkynyl with one or two triple bonds optionally substituted with C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₃ alkoxy, or -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(38) -(CH₂)₀₋₄-N(-H or R_{N-5})-SO₂-R_{N-2} where R_{N-5} and R_{N-2} can be the same or different and are as defined above, or

10 (39) -(CH₂)₀₋₄- C₃-C₇ cycloalkyl,

(C) R_{N-aryl}-W-R_{N-aryl}, where R_{N-aryl} can be the same or different,

(D) R_{N-aryl}-W-R_{N-heteroaryl},

(E) R_{N-aryl}-W-R_{N-1-heterocycle}, wherein R_{N-1-heterocycle} is the same as R_{1-heterocycle}, and R_{1-heterocycle} is as defined above

15 (F) R_{N-heteroaryl}-W-R_{N-aryl},

(G) R_{N-heteroaryl}-W-R_{N-heteroaryl},

(H) R_{N-heteroaryl}-W-R_{N-1-heterocycle},

(I) R_{N-heterocycle}-W-R_{N-aryl}, wherein R_{N-heterocycle} is the same as R_{1-heterocycle}, and R_{1-heterocycle} is as defined above, and R_{N-aryl} is as defined above,

20 (J) R_{N-heterocycle}-W-R_{N-heteroaryl}, and

(K) R_{N-heterocycle}-W-R_{N-1-heterocycle},

where W is

(1) -(CH₂)₀₋₄-,

(2) -O-,

25 (3) -S(O)₀₋₂-,

(4) -N(R_{N-5})- where R_{N-5} is as defined above, or

(5) -CO-;

(II) -CO-(C₁-C₁₀ alkyl) where alkyl is optionally substituted with one three substituents selected from the group consisting of:

30 (A) -OH,

(B) -C₁-C₆ alkoxy,

(C) -C₁-C₆ thioalkoxy,

(D) -CO-O-R_{N-8} where R_{N-8} is -H, C₁-C₆ alkyl or -phenyl,

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(E) $-\text{CO}-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$ where $\text{R}_{\text{N}-2}$ and $\text{R}_{\text{N}-3}$ are the same or different and are as defined above,

(F) $-\text{CO}-\text{R}_{\text{N}-4}$ where $\text{R}_{\text{N}-4}$ is as defined above,

(G) $-\text{SO}_2-(\text{C}_1\text{-C}_8\text{ alkyl})$,

5 (H) $-\text{SO}_2-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$ where $\text{R}_{\text{N}-2}$ and $\text{R}_{\text{N}-3}$ are the same or different and are as defined above,

(I) $-\text{NH}-\text{CO}-(\text{C}_1\text{-C}_6\text{ alkyl})$,

(J) $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N}-8}$ where $\text{R}_{\text{N}-8}$ is as defined above,

10 (K) $-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$ where $\text{R}_{\text{N}-2}$ and $\text{R}_{\text{N}-3}$ are the same or different and are as defined above,

(L) $-\text{R}_{\text{N}-4}$ where $\text{R}_{\text{N}-4}$ is as defined above,

(M) $-\text{O}-\text{CO}-(\text{C}_1\text{-C}_6\text{ alkyl})$,

15 (N) $-\text{O}-\text{CO}-\text{NR}_{\text{N}-8}\text{R}_{\text{N}-8}$ where $\text{R}_{\text{N}-8}$ are the same or different and are as defined above,

(O) $-\text{O}-(\text{C}_1\text{-C}_5\text{ alkyl})-\text{COOH}$,

20 (P) $-\text{O}-(\text{C}_1\text{-C}_6\text{ alkyl}$ optionally substituted with one, two, or three of $-\text{F}$, $-\text{Cl}$, $-\text{Br}$, or $-\text{I}$),

(Q) $-\text{NH}-\text{SO}_2-(\text{C}_1\text{-C}_6\text{ alkyl})$, and

(R) $-\text{F}$, or $-\text{Cl}$,

25 (III) $-\text{CO}-(\text{C}_1\text{-C}_6\text{ alkyl})-\text{O}-(\text{C}_1\text{-C}_6\text{ alkyl})$ where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

(A) $-\text{OH}$,

(B) $-\text{C}_1\text{-C}_6\text{ alkoxy}$,

(C) $-\text{C}_1\text{-C}_6\text{ thioalkoxy}$,

30 (D) $-\text{CO}-\text{O}-\text{R}_{\text{N}-8}$ where $\text{R}_{\text{N}-8}$ is $-\text{H}$, $\text{C}_1\text{-C}_6\text{ alkyl}$ or $-\text{phenyl}$,

(E) $-\text{CO}-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$ where $\text{R}_{\text{N}-2}$ and $\text{R}_{\text{N}-3}$ are the same or different and are as defined above,

(F) $-\text{CO}-\text{R}_{\text{N}-4}$ where $\text{R}_{\text{N}-4}$ is as defined above,

(G) $-\text{SO}_2-(\text{C}_1\text{-C}_8\text{ alkyl})$,

35 (H) $-\text{SO}_2-\text{NR}_{\text{N}-2}\text{R}_{\text{N}-3}$ where $\text{R}_{\text{N}-2}$ and $\text{R}_{\text{N}-3}$ are the same or different and are as defined above,

(I) $-\text{NH}-\text{CO}-(\text{C}_1\text{-C}_6\text{ alkyl})$,

(J) $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N}-8}$ where $\text{R}_{\text{N}-8}$ is as defined above,

(K) $-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$ where $\text{R}_{\text{N-2}}$ and $\text{R}_{\text{N-3}}$ are the same or different and are as defined above,

(L) $-\text{R}_{\text{N-4}}$ where $\text{R}_{\text{N-4}}$ is as defined above,

(M) $-\text{O}-\text{CO}-(\text{C}_1\text{-C}_6 \text{ alkyl})$,

5 (N) $-\text{O}-\text{CO}-\text{NR}_{\text{N-8}}\text{R}_{\text{N-8}}$ where $\text{R}_{\text{N-8}}$ are the same or different and are as defined above,

(O) $-\text{O}-(\text{C}_1\text{-C}_5 \text{ alkyl})-\text{COOH}$,

10 (P) $-\text{O}-(\text{C}_1\text{-C}_6 \text{ alkyl}$ optionally substituted with one, two, or three of $-\text{F}$, $-\text{Cl}$, $-\text{Br}$, or $-\text{I}$),

(Q) $-\text{NH}-\text{SO}_2-(\text{C}_1\text{-C}_6 \text{ alkyl})$, and

(R) $-\text{F}$, or $-\text{Cl}$,

(IV) $-\text{CO}-(\text{C}_1\text{-C}_6 \text{ alkyl})-\text{S}-(\text{C}_1\text{-C}_6 \text{ alkyl})$ where alkyl is optionally substituted with one, two, or three of substituents selected from the group consisting of:

15 (A) $-\text{OH}$,

(B) $-\text{C}_1\text{-C}_6 \text{ alkoxy}$,

(C) $-\text{C}_1\text{-C}_6 \text{ thioalkoxy}$,

(D) $-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$ where $\text{R}_{\text{N-8}}$ is as defined above,

20 (E) $-\text{CO}-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$ where $\text{R}_{\text{N-2}}$ and $\text{R}_{\text{N-3}}$ are the same or different and are as defined above,

(F) $-\text{CO}-\text{R}_{\text{N-4}}$ where $\text{R}_{\text{N-4}}$ is as defined above,

(G) $-\text{SO}_2-(\text{C}_1\text{-C}_8 \text{ alkyl})$,

25 (H) $-\text{SO}_2-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$ where $\text{R}_{\text{N-2}}$ and $\text{R}_{\text{N-3}}$ are the same or different and are as defined above,

(I) $-\text{NH}-\text{CO}-(\text{C}_1\text{-C}_6 \text{ alkyl})$,

30 (J) $-\text{NH}-\text{CO}-\text{O}-\text{R}_{\text{N-8}}$ where $\text{R}_{\text{N-8}}$ is as defined above,

(K) $-\text{NR}_{\text{N-2}}\text{R}_{\text{N-3}}$ where $\text{R}_{\text{N-2}}$ and $\text{R}_{\text{N-3}}$ are the same or different and are as defined above,

(L) $-\text{R}_{\text{N-4}}$ where $\text{R}_{\text{N-4}}$ is as defined above,

(M) $-\text{O}-\text{CO}-(\text{C}_1\text{-C}_6 \text{ alkyl})$,

35 (N) $-\text{O}-\text{CO}-\text{NR}_{\text{N-8}}\text{R}_{\text{N-8}}$ where $\text{R}_{\text{N-8}}$ are the same or different and are as defined above,

(O) $-\text{O}-(\text{C}_1\text{-C}_5 \text{ alkyl})-\text{COOH}$,

(P) -O-(C₁-C₆ alkyl optionally substituted with one, two, or three of -F, -Cl, -Br, or -I),

(Q) -NH-SO₂-(C₁-C₆ alkyl), and

(R) -F, or -Cl,

5 (V) -CO-CH(-((CH₂)₀₋₂-O-R_{N-10})-(CH₂)₀₋₂-R_{N-aryl}/R_{N-heteroaryl}) where R_{N-aryl} and R_{N-heteroaryl} are as defined above, where R_{N-10} is selected from the group consisting of:

(A) -H,

(B) C₁-C₆ alkyl,

(C) C₃-C₇ cycloalkyl,

10 (D) C₂-C₆ alkenyl with one double bond,

(E) C₂-C₆ alkynyl with one triple bond,

(F) R_{1-aryl} where R_{1-aryl} is as defined above, and

(G) R_{N-heteroaryl} where R_{N-heteroaryl} is as defined above, or

(VI) -CO-(C₃-C₈ cycloalkyl) where alkyl is optionally substituted with one 15 or two substituents selected from the group consisting of:

(A) -(CH₂)₀₋₄-OH,

(B) -(CH₂)₀₋₄-C₁-C₆ alkoxy,

(C) -(CH₂)₀₋₄-C₁-C₆ thioalkoxy,

(D) -(CH₂)₀₋₄-CO-O-R_{N-8} where R_{N-8} is -H, C₁-C₆ alkyl or phenyl,

20 (E) -(CH₂)₀₋₄-CO-NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are the same or different and are as defined above,

(F) -(CH₂)₀₋₄-CO-R_{N-4} where R_{N-4} is as defined above,

(G) -(CH₂)₀₋₄-SO₂-(C₁-C₈ alkyl),

(H) -(CH₂)₀₋₄-SO₂-NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are the same or

25 different and are as defined above,

(I) -(CH₂)₀₋₄-NH-CO-(C₁-C₆ alkyl),

(J) -NH-CO-O-R_{N-8} where R_{N-8} is as defined above,

(K) -(CH₂)₀₋₄-NR_{N-2}R_{N-3} where R_{N-2} and R_{N-3} are the same or

different and are as defined above,

30 (L) -(CH₂)₀₋₄-R_{N-4} where R_{N-4} is as defined above,

(M) -O-CO-(C₁-C₆ alkyl),

(N) -O-CO-NR_{N-8}R_{N-8} where R_{N-8} are the same or different and are as defined above,

(O) -O-(C₁-C₅ alkyl)-COOH,

(P) -O-(C₁-C₆ alkyl optionally substituted with one, two, or three of

5 -F, -Cl, -Br, or -I),

(Q) -NH-SO₂-(C₁-C₆ alkyl), and

(R) -F, or -Cl;

where R_A is:

10 (I)-C₁-C₁₀ alkyl optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O-phenyl, -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, -OC=O NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, -S(=O)_{0.2} R_{1-a} where R_{1-a} is as defined above, -NR_{1-a}C=O NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, -15 C=O NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, and -S(=O)₂ NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

20 (II) -(CH₂)₀₋₃-(C₃-C₈) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O-phenyl, -CO-OH, -CO-O-(C₁-C₄ alkyl), and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(III) -(CR_{A-x}R_{A-y})₀₋₄-R_{A-aryl} where R_{A-x} and R_{A-y} are

(A) -H,

(B) C₁-C₄ alkyl optionally substituted with one or two -OH,

(C) C₁-C₄ alkoxy optionally substituted with one, two, or three of -

25 F,

(D) -(CH₂)₀₋₄-C₃-C₇ cycloalkyl,

(E) C₂-C₆ alkenyl containing one or two double bonds,

(F) C₂-C₆ alkynyl containing one or two triple bonds, or

(G) phenyl,

30 and where R_{A-x} and R_{A-y} are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO₂-, and -NR_{N-2}- and R_{A-aryl} is the same as R_{N-aryl},

(IV) $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heteroaryl}$ where $R_{A-heteroaryl}$ is the same as $R_{N-heteroaryl}$ and R_{A-x} and R_{A-y} are as defined above,

(V) $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}-R_{A-aryl}$ where R_{A-aryl} , R_{A-x} and R_{A-y} are as defined above,

5 (VI) $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}-R_{A-heteroaryl}$ where R_{A-aryl} , $R_{A-heteroaryl}$, R_{A-x} and R_{A-y} are as defined above,

(VII) $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heteroaryl}-R_{A-aryl}$ where $R_{A-heteroaryl}$, R_{A-aryl} , R_{A-x} and R_{A-y} are as defined above,

10 (VIII) $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heteroaryl}-R_{A-heteroaryl}$ where $R_{A-heteroaryl}$, R_{A-x} and R_{A-y} are as defined above,

(IX) $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}-R_{A-heterocycle}$ where $R_{A-heterocycle}$ is defined as R_1 -heterocycle, and where R_{A-aryl} , R_{A-x} and R_{A-y} are as defined above,

(X) $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heteroaryl}-R_{A-heterocycle}$ where $R_{A-heteroaryl}$, $R_{A-heterocycle}$, R_{A-x} and R_{A-y} are as defined above,

15 (XI) $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heterocycle}-R_{A-aryl}$ where $R_{A-heterocycle}$, R_{A-aryl} , R_{A-x} and R_{A-y} are as defined above,

(XII) $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heterocycle}-R_{A-heteroaryl}$ where $R_{A-heterocycle}$, $R_{A-heteroaryl}$, R_{A-x} and R_{A-y} are as defined above,

20 (XIII) $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heterocycle}-R_{A-heterocycle}$ where $R_{A-heterocycle}$, R_{A-x} and R_{A-y} are as defined above,

(XIV) $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heterocycle}$ where $R_{A-heterocycle}$, R_{A-x} and R_{A-y} are as defined above,

(XV) $-[C(R_{A-1})(R_{A-2})]_{1-3}-CO-N-(R_{A-3})_2$ where R_{A-1} and R_{A-2} are the same or different and are selected from the group consisting of:

25 (A) -H,

(B) $-C_1-C_6$ alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C_1-C_6 alkoxy, -O-phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

30 (C) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C_1-C_6 alkoxy, -O-phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(D) C_2 - C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1 - C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C_1 - C_6 alkoxy, -O-phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

5 (E) $-(CH_2)_{1-2}S(O)_{0-2}(C_1-C_6\text{ alkyl})$,

(F) $-(CH_2)_{0-4}C_3-C_7$ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1 - C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C_1 - C_6 alkoxy, -O-phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

10 (G) $-(C_1-C_4\text{ alkyl})-R_{A'-aryl}$ where R_{A'-aryl} is as defined for R_{1-aryl},

(H) $-(C_1-C_4\text{ alkyl})-R_{A\text{-heteroaryl}}$ where R_{A-heteroaryl} is as defined above,

(I) $-(C_1-C_4\text{ alkyl})-R_{A\text{-heterocycle}}$ where R_{A-heterocycle} is as defined above,

(J) $-R_{A\text{-heteroaryl}}$ where R_{A-heteroaryl} is as defined above,

(K) $-R_{A\text{-heterocycle}}$ where R_{A-heterocycle} is as defined above,

15 (M) $-(CH_2)_{1-4}R_{A-4}-(CH_2)_{0-4}R_{A'-aryl}$ where R_{A-4} is -O-, -S- or -NR_{A-5}- where R_{A-5} is C_1 - C_6 alkyl, and where R_{A'-aryl} is defined above,

(N) $-(CH_2)_{1-4}R_{A-4}-(CH_2)_{0-4}R_{A\text{-heteroaryl}}$ where R_{A-4} and R_{A-heteroaryl} are as defined above, and

(O) $-R_{A'-aryl}$ where R_{A'-aryl} is as defined above,

20 and where R_{A-3} is the same or different and is:

(A) -H,

(B) C_1-C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1 - C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C_1 - C_6 alkoxy, -O-phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

25 (C) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1 - C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C_1 - C_6 alkoxy, -O-phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

30 (D) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1 - C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C_1 - C_6 alkoxy, -O-phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(E) $-(CH_2)_{0-4}C_3-C_7$ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C_1-C_6 alkoxy, -O-phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

5 (F) -R_{A'-aryl} where R_{A'-aryl} is as defined above,
 (G) -R_{A-heteroaryl} where R_{A-heteroaryl} is as defined above,
 (H) -R_{A-heterocycle} where R_{A-heterocycle} is as defined above,
 (I) -(C₁-C₄ alkyl)-R_{A'-aryl} where R_{A'-aryl} is as defined above,
 (J) -(C₁-C₄ alkyl)-R_{A-heteroaryl} where R_{A-heteroaryl} is as defined above,
 10 (K) -(C₁-C₄ alkyl)-R_{A-heterocycle} where R_{A-heterocycle} is as defined above, or

(XVI) -CH(R_{A-aryl})₂ where R_{A-aryl} are the same or different and are as defined above,

15 (XVII) -CH(R_{A-heteroaryl})₂ where R_{A-heteroaryl} are the same or different and are as defined above,

(XVIII) -CH(R_{A-aryl})(R_{A-heteroaryl}) where R_{A-aryl} and R_{A-heteroaryl} are as defined above,

20 (XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R_{A-aryl}, R_{A-heteroaryl}, R_{A-heterocycle} where R_{A-aryl} or R_{A-heteroaryl} or R_{A-heterocycle} are as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, NR_{N-5}, O, or S(=O)₀₋₂, and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two -C₁-C₃ alkyl, -F, -OH, -SH, -C≡N, -CF₃, C_1-C_6 alkoxy, =O, or -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

25 (XX) C₂-C₁₀ alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C_1-C_6 alkoxy, -O-phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

30 (XXI) C₂-C₁₀ alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C_1-C_6 alkoxy, -O-phenyl, -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(XXI) -(CH₂)₀₋₁-CHR_{A-6}-(CH₂)₀₋₁-R_{A-aryl} where R_{A-aryl} is as defined above and R_{A-6} is -(CH₂)₀₋₆-OH,

(XXII) $-(CH_2)_{0-1}-CHR_{A-6}-(CH_2)_{0-1}-R_{A-heteroaryl}$ where $R_{A-heteroaryl}$ and R_{A-6} is as defined above,

(XXIII) $-CH(-R_{A-aryl} \text{ or } R_{A-heteroaryl})-CO-O(C_1-C_4 \text{ alkyl})$ where R_{A-aryl} and $R_{A-heteroaryl}$ are as defined above,

5 (XXIV) $-CH(-CH_2-OH)-CH(-OH)-\text{micro-NO}_2$,

(XXV) $(C_1-C_6 \text{ alkyl})-O-(C_1-C_6 \text{ alkyl})-OH$,

(XXVII) $-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)_2$,

(XXVIII) $-H$,

10 (XXIX) $-(CH_2)_{0-6}-C(=NR_{1-a})(NR_{1-a}R_{1-b})$ where R_{1-a} and R_{1-b} are as defined above; or

(XXX)

$-C=OC(HR_6)NHR_7$, where R_6 and R_7 are as defined below,

$-C=OR_7$, where R_7 is as defined below,

$-C=OOR_7$, where R_7 is as defined below, or

15 $-SOOR_7$ where R_7 is as defined below,

wherein R_6 is:

hydrogen,

$C_1 - C_3$ alkyl,

phenyl,

20 thioalkoxyalkyl,

alkyl substituted aryl,

cycloalkyl,

cycloalkylalkyl,

hydroxyalkyl,

25 alkoxyalkyl,

aryloxyalkyl,

haloalkyl,

carboxyalkyl,

alkoxycarbonylalkyl,

30 aminoalkyl,

(N-protected)aminoalkyl,

alkylaminoalkyl,

((N-protected)(alkyl)amino)alkyl,

dialkylaminoalkyl,

guanidinoalkyl,
lower alkenyl,
heterocyclic,
(heterocyclic)alkyl),
5
arylthioalkyl,
arylsulfonylalkyl,
(heterocyclic)thioalkyl,
(heterocyclic)sulfonylalkyl,
(heterocyclic)oxyalkyl,
10
arylalkoxyalkyl,
arylthioalkoxyalkyl,
arylalkylsulfonylalkyl,
(heterocyclic)alkoxyalkyl,
(heterocyclic)thioalkoxyalkyl,
15
(heterocyclic)alkylsulfonylalkyl,
cycloalkyloxyalkyl,
cycloalkylthioalkyl,
cycloalkylsulfonylalkyl,
cycloalkylalkoxyalkyl,
20
cycloalkylthioalkoxyalkyl,
cycloalkylalkylsulfonylalkyl,
aminocarbonyl,
alkylaminocarbonyl,
dialkylaminocarbonyl,
25
aroylalkyl,
(heterocyclic)carbonylalkyl,
polyhydroxyalkyl,
aminocarbonylalkyl,
alkylaminocarbonylalkyl,
30
dialkylaminocarbonylalkyl,
aryloxyalkyl, or
alkylsulfonylalkyl,

wherein heterocyclic is pyridyl, thiazolyl, isothiazolyl,
oxazolyl, isoxazolyl, furanyl, thienyl, tetrahydrofuran, tetrahydrothienyl and

tetrahydro[2H]pyranyl and wherein the heterocycle is unsubstituted or substituted with one to three substituents independently selected from hydroxy, halo, amino, alkylamino, dialkylamino, alkoxy, polyalkoxy, haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, COOH, -SO₃H, lower alkenyl or lower alkyl;

5

wherein R₇ is:

10

C₁ - C₃ alkyl,
phenyl,
thioalkoxyalkyl,
(aryl)alkyl,
cycloalkyl,
cycloalkylalkyl,
hydroxyalkyl,
alkoxyalkyl,
aryloxyalkyl,

15

haloalkyl,
carboxyalkyl,
alkoxycarbonylalkyl,
aminoalkyl,
(N-protected)aminocalkyl,

20

alkylaminoalkyl,
((N-protected)(alkyl)amino)alkyl,
dialkylaminoalkyl,
guanidinoalkyl,
lower alkenyl,

25

heterocyclic,
(heterocyclic)alkyl),
arylthioalkyl,
arylsulfonylalkyl,
(heterocyclic)thioalkyl,

30

(heterocyclic)sulfonylalkyl,
(heterocyclic)oxyalkyl,
arylalkoxyalkyl,
arylthioalkoxyalkyl,
arylalkylsulfonylalkyl,

(heterocyclic)alkoxyalkyl,
(heterocyclic)thioalkoxyalkyl,
(heterocyclic)alkylsulfonylalkyl,
cycloalkyloxyalkyl,
5 cycloalkylthioalkyl,
cycloalkylsulfonylalkyl,
cycloalkylalkoxyalkyl,
cycloalkylthioalkoxyalkyl,
cycloalkylalkylsulfonylalkyl,
10 aminocarbonyl,
alkylaminocarbonyl,
dialkylaminocarbonyl,
aroylalkyl,
(heterocyclic)carbonylalkyl,
15 polyhydroxyalkyl,
aminocarbonylalkyl,
alkylaminocarbonylalkyl,
dialkylaminocarbonylalkyl,
aryloxyalkyl, or
20 alkylsulfonylalkyl,

wherein heterocyclic is pyridyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, furanyl, thienyl, tetrahydrofuran, tetrahydrothienyl and tetrahydro[2H]pyranyl and wherein the heterocycle is unsubstituted or substituted with one to three substituents independently selected from hydroxy, halo, amino, alkylamino, dialkylamino, alkoxy, polyalkoxy, haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, COOH, -SO₃H, lower alkenyl or lower alkyl;

where X is -N, or -O, with the proviso that when X is O, R_B is absent;
and when X is N,
30 R_B is:
(I)-C₁-C₁₀ alkyl optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O-phenyl, -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, -OC=O NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, -S(=O)₀₋₂ R_{1-a} where R_{1-a} is as defined above, -NR_{1-a}C=O NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, -C=O NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, and -S(=O)₂ NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

5 a and R_{1-b} are as defined above,

(II) -(CH₂)₀₋₃-(C₃-C₈) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O-phenyl, -CO-OH, -CO-O-(C₁-C₄ alkyl), and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

10 (III) -(CR_{B-x}R_{B-y})₀₋₄-R_{B-aryl} where R_{B-x} and R_{B-y} are

(A) -H,

(B) C₁-C₄ alkyl optionally substituted with one or two -OH,

(C) C₁-C₄ alkoxy optionally substituted with one, two, or three of -F,

15 (D) -(CH₂)₀₋₄-C₃-C₇ cycloalkyl,

(E) C₂-C₆ alkenyl containing one or two double bonds,

(F) C₂-C₆ alkynyl containing one or two triple bonds, or

(G) phenyl,

and where R_{B-x} and R_{B-y} are taken together with the carbon to

20 which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO₂-, and -NR_{N-2} where R_{N-2} is as defined above, and R_{B-aryl} is the same as R_{N-aryl} and is defined above

(IV) -(CR_{B-x}R_{B-y})₀₋₄-R_{B-heteroaryl} where R_{B-heteroaryl} is the same as R_{N-heteroaryl},

25 R_{B-x}, and R_{B-y} are as defined above,

(V) -(CR_{B-x}R_{B-y})₀₋₄-R_{B-aryl}-R_{B-aryl} where R_{B-aryl}, R_{B-x}, and R_{B-y} are as defined above,

(VI) -(CR_{B-x}R_{B-y})₀₋₄-R_{B-aryl}-R_{B-heteroaryl} where R_{B-aryl}, R_{B-heteroaryl}, R_{B-x} and R_{B-y} are as defined above,

30 (VII) -(CR_{B-x}R_{B-y})₀₋₄-R_{B-heteroaryl}-R_{B-aryl} where R_{B-heteroaryl}, R_{B-aryl}, R_{B-x} and R_{B-y} are as defined above,

(VIII) -(CR_{B-x}R_{B-y})₀₋₄-R_{B-heteroaryl}-R_{B-heteroaryl} where R_{B-heteroaryl}, R_{B-x} and R_{B-y} are as defined above,

(IX) $-(CR_{B-x}R_{B-y})_{0-4}-R_{B-aryl}-R_{B-heterocycle}$ where $R_{B-heterocycle}$ is defined as $R_{1-heterocycle}$, and where R_{B-aryl} , R_{B-x} and R_{B-y} are as defined above,

(X) $-(CR_{B-x}R_{B-y})_{0-4}-R_{B-heteroaryl}-R_{B-heterocycle}$ where $R_{B-heteroaryl}$, $R_{B-heterocycle}$, R_{B-x} and R_{B-y} are as defined above,

5 (XI) $-(CR_{B-x}R_{B-y})_{0-4}-R_{B-heterocycle}-R_{B-aryl}$ where $R_{B-heterocycle}$, R_{B-aryl} , R_{B-x} and R_{B-y} are as defined above,

(XII) $-(CR_{B-x}R_{B-y})_{0-4}-R_{B-heterocycle}-R_{B-heteroaryl}$ where $R_{B-heterocycle}$, $R_{B-heteroaryl}$, R_{B-x} and R_{B-y} are as defined above,

10 (XIII) $-(CR_{B-x}R_{B-y})_{0-4}-R_{B-heterocycle}-R_{B-heterocycle}$ where $R_{B-heterocycle}$, R_{B-x} and R_{B-y} are as defined above,

(XIV) $-(CR_{B-x}R_{B-y})_{0-4}-R_{B-heterocycle}$ where $R_{B-heterocycle}$, R_{B-x} and R_{B-y} are as defined above,

(XV) $-[C(R_{B-1})(R_{B-2})]_{1-3}-CO-N-(R_{B-3})_2$ where R_{B-1} and R_{B-2} are the same or different and are selected from the group consisting of:

15 (A) -H,

(B) C_1-C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C_1-C_6 alkoxy, -O-phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

20 (C) C_2-C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C_1-C_6 alkoxy, -O-phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

25 (D) C_2-C_6 alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C_1-C_6 alkoxy, -O-phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(E) $-(CH_2)_{1-2}-S(O)_{0-2}-(C_1-C_6$ alkyl),

(F) $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl, optionally substituted with one,

30 two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C_1-C_6 alkoxy, -O-phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(G) -(C₁-C₄ alkyl)-R_{B'-aryl} where R_{B'-aryl} is as defined above for R_{1-aryl},

(H) -(C₁-C₄ alkyl)-R_{B-heteroaryl} where R_{B-heteroaryl} is as defined above,

(I) -(C₁-C₄ alkyl)-R_{B-heterocycle} where R_{B-heterocycle} is as defined above,

5 (J) -R_{B-heteroaryl} where R_{B-heteroaryl} is as defined above,

(K) -R_{B-heterocycle} where R_{B-heterocycle} is as defined above,

(M) -(CH₂)₁₋₄-R_{B-4}-(CH₂)₀₋₄-R_{B'-aryl} where R_{B-4} is -O-, -S- or -NR_{B-5}- where R_{B-5} is C₁-C₆ alkyl, and where R_{B'-aryl} is defined above,

(N) -(CH₂)₁₋₄-R_{B-4}-(CH₂)₀₋₄-R_{B-heteroaryl} where R_{B-4} and R_{B-heteroaryl}

10 are as defined above, and

(O) -R_{B'-aryl} where R_{B'-aryl} is as defined above,

and where R_{B-3} is the same or different and is:

(A) -H,

(B) -C₁-C₆ alkyl optionally substituted with one, two or three

15 substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O-phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(C) C₂-C₆ alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃

20 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O-phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

(D) C₂-C₆ alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O-phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

25 (E) -(CH₂)₀₋₄-C₃-C₇ cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O-phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

30 (F) -R_{B'-aryl} where R_{B'-aryl} is as defined above,

(G) -R_{B-heteroaryl} where R_{B-heteroaryl} is as defined above,

(H) -R_{B-heterocycle} where R_{B-heterocycle} is as defined above,

(I) -(C₁-C₄ alkyl)-R_{B'-aryl} where R_{B'-aryl} is as defined above,

(J) -(C₁-C₄ alkyl)-R_B-heteroaryl where R_B-heteroaryl is as defined above,
 (K) -(C₁-C₄ alkyl)-R_B-heterocycle where R_B-heterocycle is as defined above, or

5 (XVI) -CH(R_B-aryl)₂ where R_B-aryl are the same or different and are as defined above,
 (XVII) -CH(R_B-heteroaryl)₂ where R_B-heteroaryl are the same or different and are as defined above,

10 (XVIII) -CH(R_B-aryl)(R_B-heteroaryl) where R_B-aryl and R_B-heteroaryl are as defined above,

15 (XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R_B-aryl or R_B-heteroaryl or R_B-heterocycle where R_B-aryl or R_B-heteroaryl or R_B-heterocycle are as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, NR_{N-5}, O, or S(=O)₀₋₂, and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two -C₁-C₃ alkyl, -F, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, =O, or -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

20 (XX) C₂-C₁₀ alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O-phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

25 (XXI) C₂-C₁₀ alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of C₁-C₃ alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF₃, C₁-C₆ alkoxy, -O-phenyl, and -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above,

30 (XXI) -(CH₂)₀₋₁-CHR_{C-6}-(CH₂)₀₋₁-RB_B-aryl where R_B-aryl is as defined above and R_{C-6} is -(CH₂)₀₋₆-OH,

(XXII) -(CH₂)₀₋₁-CHR_B-6-(CH₂)₀₋₁-R_B-heteroaryl where R_B-heteroaryl and R_{C-6} is as defined above,

(XXIII) -CH(-R_B-aryl or R_B-heteroaryl)-CO-O(C₁-C₄ alkyl) where R_B-aryl and R_B-heteroaryl are as defined above,

(XXIV) -CH(-CH₂-OH)-CH(-OH)-micro-NO₂,
 (XXV) (C₁-C₆ alkyl)-O-(C₁-C₆ alkyl)-OH,
 (XXVII) -CH₂-NH-CH₂-CH(-O-CH₂-CH₃)₂,
 (XXVIII) -H, or

(XXIX) $-(CH_2)_{0-6}-C(=NR_{1-a})(NR_{1-a}R_{1-b})$ where R_{1-a} and R_{1-b} are as defined above;
 or a pharmaceutically acceptable salt thereof.

5 2. A substituted amine according to claim 1

where R_1 is:

$-(CH_2)_{0-1}-(R_{1-aryl})$, or
 $-(CH_2)_{n1}-(R_{1-heteroaryl})$;

where R_N is:

10 $R_{N-1}-X_N-$, where X_N is selected from the group consisting of:

$-CO-$, and
 $-SO_2-$,

where R_{N-1} is selected from the group consisting of:

$-R_{N-aryl}$, and
 $-R_{N-heteroaryl}$, or

$-CO-CH(-(CH_2)_{0-2}-O-R_{N-10})-(CH_2)_{0-2}-R_{N-aryl}/R_{N-heteroaryl}$;

where R_A is:

$-C_1-C_8$ alkyl,
 $-(CH_2)_{0-3}-(C_3-C_7)$ cycloalkyl,
 $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$,
 $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heteroaryl}$,
 $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heterocycle}$,
 $-cyclopentyl$ or $-cyclohexyl$ ring fused to R_{A-aryl} or $R_{A-heteroaryl}$ or $R_{A-heterocycle}$;

25 where X is $-N$ or $-O$, with the proviso that when X is O , R_B is absent;

and when X is N ,

R_B is:

$-C_1-C_8$ alkyl,
 $-(CH_2)_{0-3}-(C_3-C_7)$ cycloalkyl,
 $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$,
 $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heteroaryl}$,
 $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-heterocycle}$,
 $-cyclopentyl$ or $-cyclohexyl$ ring fused to R_{A-aryl} or $R_{A-heteroaryl}$ or $R_{A-heterocycle}$.